STN- Structure Search 1/22/08

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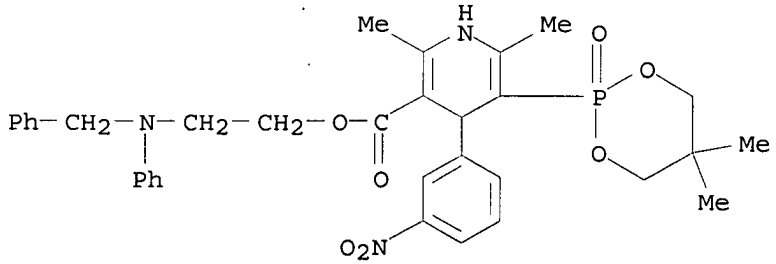
L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:56468 CAPLUS
DOCUMENT NUMBER: 143:126260
TITLE: Blocking action of efonidipine enantiomers on L- and T-type Ca2+ channels
AUTHOR(S): Nakadai, Tsukasa
CORPORATE SOURCE: The Department of Medicine Teikyo University School of Medicine, Japan
SOURCE: Teikyo Igaku Zasshi (2004), 27(5-6), 383-390
CODEN: TIGZDZ; ISSN: 0387-5547
PUBLISHER: Teikyo Daigaku Igakubu
DOCUMENT TYPE: Journal
LANGUAGE: Japanese

AB The advantages of blocking T-type Ca2+ channels in the control of hypertension and ischemic heart disease have been exploited. Efonidipine, a derivative of dihydropyridine Ca2+ antagonist, is known to block both L- and T-type Ca2+ channels. It still remains to be clarified, whether the optical isomers of efonidipine have different selectivities in blocking L- and T-type Ca2+ channels. To address the issues, effects of R(-)- and S(+)-isomers of efonidipine on these Ca2+ channel subtypes were examined electrophysiol. in the expression systems using Xenopus oocytes and baby hamster kidney cells. The blocking actions on L- and T-type Ca2+ channels by efonidipine, a mixture of R(-)- and S(+)-isomers, were reproduced by S(+)-efonidipine isomer. By contrast, R(-)-efonidipine isomer preferentially blocked T-type channels. These findings indicate that the R(-)-isomer of efonidipine is a specific blocker of the T-type Ca2+ channel.

IT 111011-63-3, Efonidipine
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(blocking action of efonidipine enantiomers on L- and T-type Ca2+ channels)
RN 111011-63-3 CAPLUS
CN 3-Pyridinecarboxylic acid, 5-(5,5-dimethyl-2-oxido-1,3,2-dioxaphosphorinan-2-yl)-1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-, 2-[phenyl(phenylmethyl)amino]ethyl ester (CA INDEX NAME)




L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:19169 CAPLUS
DOCUMENT NUMBER: 142:232882
TITLE: Identification of R(-)-isomer of efonidipine as a selective blocker of T-type Ca2+ channels
AUTHOR(S): Furukawa, Taiji; Miura, Reiko; Honda, Mitsuyoshi; Kamiya, Natsuko; Mori, Yasuo; Takeshita, Satoshi; Isshiki, Takaaki; Nukada, Toshihide
CORPORATE SOURCE: Department of Internal Medicine, Teikyo University

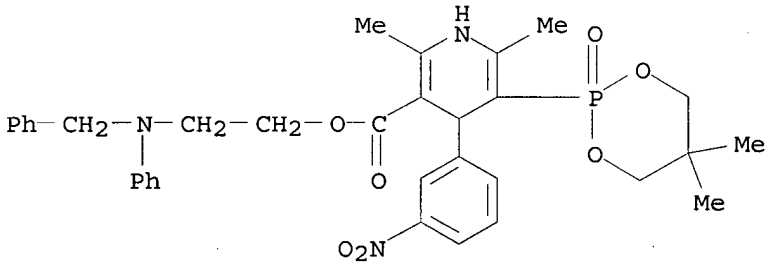
School of Medicine 2-11-1 Kaga, Tokyo, 173-0003, Japan
SOURCE: British Journal of Pharmacology (2004), 143(8), 1050-1057
CODEN: BJPCBM; ISSN: 0007-1188
PUBLISHER: Nature Publishing Group
DOCUMENT TYPE: Journal
LANGUAGE: English

AB 1 Efonidipine, a derivative of dihydropyridine Ca2+ antagonist, is known to block both L- and T-type Ca2+ channels. It remains to be clarified, however, whether efonidipine affects other voltage-dependent Ca2+ channel subtypes such as N-, P/Q- and R-types, and whether the optical isomers of efonidipine have different selectivities in blocking these Ca2+ channels, including L- and T-types. 2 To address these issues, the effects of efonidipine and its R(-)- and S(+)-isomers on these Ca2+ channel subtypes were examined electrophysiol. in the expression systems using Xenopus oocytes and baby hamster kidney cells (BHK tk-ts13). 3 Efonidipine, a mixture of R(-)- and S(+)-isomers, exerted blocking actions on L- and T-types, but no effects on N-, P/Q- and R-type Ca2+ channels. 4 The selective blocking actions on L- and T-type channels were reproduced by the S(+)-efonidipine isomer. 5 By contrast, the R(-)-efonidipine isomer preferentially blocked T-type channels. 6 The blocking actions of efonidipine and its enantiomers were dependent on holding potentials. 7 These findings indicate that the R(-)-isomer of efonidipine is a specific blocker of the T-type Ca2+ channel.

IT 111011-63-3, Efonidipine
RL: PAC (Pharmacological activity); BIOL (Biological study)
(identification of R(-)-isomer of efonidipine as a selective blocker of T-type Ca2+ channels)

RN 111011-63-3 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-(5,5-dimethyl-2-oxido-1,3,2-dioxaphosphorinan-2-yl)-1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-, 2-[phenyl(phenylmethyl)amino]ethyl ester (CA INDEX NAME)




REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 14:12:28 ON 22 JAN 2008)

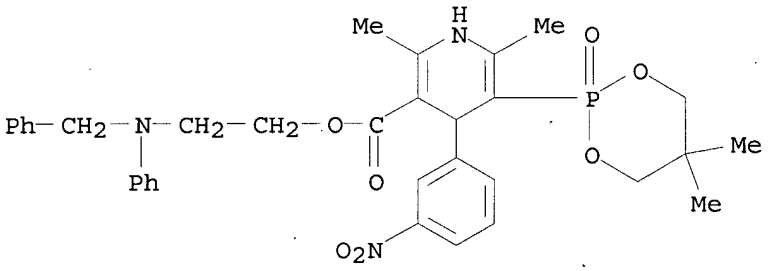
FILE 'REGISTRY' ENTERED AT 14:12:47 ON 22 JAN 2008
L1 1 S EFONIDIPINE/CN

FILE 'CAPLUS' ENTERED AT 14:13:48 ON 22 JAN 2008
L2 83 S L1
L3 1834 S R-ISOMER OR OPTICALLY ACTIVE FORM
L4 2 S L2 AND L3

=> d l1

YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:y

L1 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2008 ACS on STN
RN 111011-63-3 REGISTRY
ED Entered STN: 31 Oct 1987
CN 3-Pyridinecarboxylic acid, 5-(5,5-dimethyl-2-oxido-1,3,2-dioxaphosphorinan-2-yl)-1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-, 2-[phenyl(phenylmethyl)amino]ethyl ester (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1,3,2-Dioxaphosphorinane, 3-pyridinecarboxylic acid deriv.
CN 3-Pyridinecarboxylic acid, 5-(5,5-dimethyl-1,3,2-dioxaphosphorinan-2-yl)-1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-, 2-[phenyl(phenylmethyl)amino]ethyl ester, P-oxide
OTHER NAMES:
CN (±)-Efonidipine
CN Efonidipine
MF C34 H38 N3 O7 P
CI COM
SR CA
LC STN Files: ADISINSIGHT, ADISNEWS, AGRICOLA, BIOSIS, BIOTECHNO, CA, CAPLUS, CASREACT, CHEMCATS, CHEMINFORMRX, CIN, DDFU, DRUGU, EMBASE, IMSDRUGNEWS, IMSPATENTS, IMSRESEARCH, IPA, MRCK*, PHAR, PROMT, PROUSDDR, PS, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL
(*File contains numerically searchable property data)
Other Sources: WHO




**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

83 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
83 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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